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* * * * * Welcome to STN International * * * * *

NEWS	1		Web Page URLs for STN Seminar Schedule - N. America
NEWS	2	Apr 08	"Ask CAS" for self-help around the clock
NEWS	3	Apr 09	BEILSTEIN: Reload and Implementation of a New Subject Area
NEWS	4	Apr 09	ZDB will be removed from STN
NEWS	5	Apr 19	US Patent Applications available in IFICDB, IFIPAT, and IFIUDB
NEWS	6	Apr 22	Records from IP.com available in CAPLUS, HCAPLUS, and ZCAPLUS
NEWS	7	Apr 22	BIOSIS Gene Names now available in TOXCENTER
NEWS	8	Apr 22	Federal Research in Progress (FEDRIP) now available
NEWS	9	Jun 03	New e-mail delivery for search results now available
NEWS	10	Jun 10	MEDLINE Reload
NEWS	11	Jun 10	PCTFULL has been reloaded
NEWS	12	Jul 02	FOREGE no longer contains STANDARDS file segment
NEWS	13	Jul 22	USAN to be reloaded July 28, 2002; saved answer sets no longer valid
NEWS	14	Jul 29	Enhanced polymer searching in REGISTRY
NEWS	15	Jul 30	NETFIRST to be removed from STN
NEWS	16	Aug 08	CANCERLIT reload
NEWS	17	Aug 08	PHARMAMarketLetter(PHARMAML) - new on STN
NEWS	18	Aug 08	NTIS has been reloaded and enhanced
NEWS	19	Aug 19	Aquatic Toxicity Information Retrieval (AQUIRE) now available on STN
NEWS	20	Aug 19	IFIPAT, IFICDB, and IFIUDB have been reloaded
NEWS	21	Aug 19	The MEDLINE file segment of TOXCENTER has been reloaded
NEWS	22	Aug 26	Sequence searching in REGISTRY enhanced
NEWS	23	Sep 03	JAPIO has been reloaded and enhanced
NEWS	24	Sep 16	Experimental properties added to the REGISTRY file
NEWS	25	Sep 16	CA Section Thesaurus available in CAPLUS and CA
NEWS	26	Oct 01	CASREACT Enriched with Reactions from 1907 to 1985
NEWS	27	Oct 21	EVENTLINE has been reloaded
NEWS	28	Oct 24	BEILSTEIN adds new search fields
NEWS	29	Oct 24	Nutraceuticals International (NUTRACEUT) now available on STN
NEWS	30	Oct 25	MEDLINE SDI run of October 8, 2002
NEWS	31	Nov 18	DKILIT has been renamed APOLLIT
NEWS	32	Nov 25	More calculated properties added to REGISTRY
NEWS	33	Dec 02	TIBKAT will be removed from STN
NEWS	34	Dec 04	CSA files on STN
NEWS	35	Dec 17	PCTFULL now covers WP/PCT Applications from 1978 to date
NEWS	36	Dec 17	TOXCENTER enhanced with additional content
NEWS	37	Dec 17	Adis Clinical Trials Insight now available on STN
NEWS	38	Dec 30	ISMEC no longer available
NEWS	39	Jan 21	NUTRACEUT offering one free connect hour in February 2003
NEWS	40	Jan 21	PHARMAML offering one free connect hour in February 2003
NEWS	41	Jan 29	Simultaneous left and right truncation added to COMPENDEX, ENERGY, INSPEC
NEWS	42	Feb 13	CANCERLIT is no longer being updated
NEWS	43	Feb 24	METADEx enhancements
NEWS	44	Feb 24	PCTGEN now available on STN
NEWS	45	Feb 24	TEMA now available on STN

NEWS 46 Feb 26 NTIS now allows simultaneous left and right truncation
 NEWS 47 Feb 26 PCTFULL now contains images
 NEWS 48 Mar 04 SDI PACKAGE for monthly delivery of multifile SDI results
 NEWS 49 Mar 19 APOLLIT offering free connect time in April 2003
 NEWS 50 Mar 20 EVENTLINE will be removed from STN
 NEWS 51 Mar 24 PATDPAFULL now available on STN
 NEWS 52 Mar 24 Additional information for trade-named substances without
 structures available in REGISTRY
 NEWS 53 Mar 24 Indexing from 1957 to 1966 added to records in CA/CAPLUS

 NEWS EXPRESS April 4 CURRENT WINDOWS VERSION IS V6.01a, CURRENT
 MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP),
 AND CURRENT DISCOVER FILE IS DATED 01 APRIL 2003
 NEWS HOURS STN Operating Hours Plus Help Desk Availability
 NEWS INTER General Internet Information
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 NEWS PHONE Direct Dial and Telecommunication Network Access to STN
 NEWS WWW CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

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* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 17:58:16 ON 09 APR 2003 ✓

=> file medline, biosis, dgene, wpids, uspatful
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'MEDLINE' ENTERED AT 17:58:34 ON 09 APR 2003

FILE 'BIOSIS' ENTERED AT 17:58:34 ON 09 APR 2003
COPYRIGHT (C) 2003 BIOLOGICAL ABSTRACTS INC. (R)

FILE 'DGENE' ENTERED AT 17:58:34 ON 09 APR 2003
COPYRIGHT (C) 2003 THOMSON DERWENT

FILE 'WPIDS' ENTERED AT 17:58:34 ON 09 APR 2003
COPYRIGHT (C) 2003 THOMSON DERWENT

FILE 'USPATFULL' ENTERED AT 17:58:34 ON 09 APR 2003
CA INDEXING COPYRIGHT (C) 2003 AMERICAN CHEMICAL SOCIETY (ACS)

=> s acylat?

L1 75078 ACYLAT?

=> s l1 and method

L2 43668 L1 AND METHOD

=> s l2 and beta alanine

L3 1284 L2 AND BETA ALANINE

=> s l3 and fluorescein

L4 153 L3 AND FLUORESCIEIN

=> s l4 and NHSfunctionalized stationary phase

L5 0 L4 AND NHSFUNCTIONALIZED STATIONARY PHASE

=> s NHS functionalized stationary phase or N-hydroxysuccinamide
L6 274 NHS FUNCTIONALIZED STATIONARY PHASE OR N-HYDROXYSUCCINAMIDE

=> s l6 and l4
L7 1 L6 AND L4

=> d l7 ti abs ibib tot

L7 ANSWER 1 OF 1 USPATFULL

TI Polyamine analogues as therapeutic and diagnostic agents
AB Novel inhibitors of polyamine transport having inhibition constants two orders of magnitude lower than those of known compounds are disclosed. These polyamine analogues are useful pharmaceutical agents for treating diseases where it is desired to inhibit polyamine transport or other polyamine binding proteins, for example cancer and post-angioplasty injury. Novel chemical synthetic methods to obtain polyamine analogues are disclosed, including the production of a combinational polyamine library. These approaches yield analogues with desirable activities both for diagnostic and research assays and therapy. The assays of the invention are useful for high throughput screening of targets in the discovery of drugs that interact with the polyamine system.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ACCESSION NUMBER: 2001:4934 USPATFULL

TITLE: Polyamine analogues as therapeutic and diagnostic agents

INVENTOR(S): Vermeulin, Nicolaas M. J., Woodinville, WA, United States

O'Day, Christine L., Mountlake Terrace, WA, United States

Webb, Heather K., Seattle, WA, United States

Burns, Mark R., Shoreline, WA, United States

Bergstrom, Donald E., West Lafayette, IN, United States

PATENT ASSIGNEE(S): Oridigm Corporation, Seattle, WA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6172261	B1	20010109
	WO 9903823		19990128
APPLICATION INFO.:	US 1999-341400		19990903 (9)
	WO 1998-US14896		19980715
			19990903 PCT 371 date
			19990903 PCT 102(e) date

	NUMBER	DATE
PRIORITY INFORMATION:	US 1997-52586P	19970715 (60)
	US 1997-65728P	19971114 (60)
	US 1998-85538P	19980515 (60)

DOCUMENT TYPE: Patent

FILE SEGMENT: Granted

PRIMARY EXAMINER: Henley, III, Raymond

LEGAL REPRESENTATIVE: Morrison & Foerster LLP

NUMBER OF CLAIMS: 24

EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 50 Drawing Figure(s); 38 Drawing Page(s)

LINE COUNT: 3638

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

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(FILE 'HOME' ENTERED AT 17:58:16 ON 09 APR 2003)

FILE 'MEDLINE, BIOSIS, DGENE, WPIDS, USPATFULL' ENTERED AT 17:58:34 ON 09 APR 2003

L1 75078 S ACYLAT?
L2 43668 S L1 AND METHOD
L3 1284 S L2 AND BETA ALANINE
L4 153 S L3 AND FLUORESCCEIN
L5 0 S L4 AND NHSFUNCTIONALIZED STATIONARY PHASE
L6 274 S NHS FUNCTIONALIZED STATIONARY PHASE OR N-HYDROXYSUCCINAMIDE
L7 1 S L6 AND L4

=> d l4 ti abs ibib 1-5

L4 ANSWER 1 OF 153 WPIDS (C) 2003 THOMSON DERWENT
TI Isolating polypeptide of interest from cell lysate or crude polypeptide extract, by using a modified **Fluorescein** arsenical helix binder compound immobilized on a solid support.
AN 2001-602285 [68] WPIDS
AB WO 200153325 A UPAB: 20011121
NOVELTY - A **method** of isolating (M) a polypeptide of interest comprises contacting a modified **Fluorescein** arsenical helix binder (FlAsH) compound immobilized on a solid support with a solution containing modified polypeptide, to contain a FlAsH target sequence motif, under conditions to allow binding of polypeptide to immobilized FlAsH compound, and eluting the polypeptide from immobilized FlAsH compound.
DETAILED DESCRIPTION - INDEPENDENT CLAIMS are also included for:
(1) a DNA construct (DC) comprising an origin of replication, a selectable marker, a promoter that allows expression of the polypeptide and a multiple cloning site, where at the 5' or 3' end of the multiple cloning site is a genetically-encoded affinity tag or is a FlAsH target sequence motif;
(2) a **method** for producing a polypeptide of interest which has at its N-terminus a genetically-encoded affinity tag and at its C-terminus a FlAsH target sequence motif comprises:
(i) expressing a DNA sequence which encodes the polypeptide of interest from DC in a cell and producing the polypeptide of interest from the cells;
(ii) contacting a solution comprising (a) polypeptide with an affinity resin binding to the affinity tag, (b) eluting polypeptides to affinity column, (c) contacting the modified FlAsH compounds immobilized on a solid support with polypeptides from (b) under conditions that allow binding of polypeptide to FlAsH compound, and (d) eluting the polypeptide from immobilized FlAsH compound; or
(iii) contacting a solution comprising (a) polypeptide with a FlAsH compound immobilized to a solid support, (b) eluting polypeptides to immobilized FlAsH compound, (c) contacting an affinity resin with the polypeptide solution from (b) under conditions that allow binding of polypeptide to the affinity resin, and (d) eluting the polypeptide from affinity resin; or
(3) a kit comprising a modified FlAsH compound immobilized on a solid support; and
(4) a modified FlAsH of formula (I), its tautomers, anhydrides or salts, where R is the product of an **acylation** reaction using any amino acid.
USE - (M) is useful for isolating a polypeptide of interest from a cell lysate, crude polypeptide extract, partially purified polypeptide extract, a cell or cell free solution derived from plant, prokaryote or an eukaryote (claimed).
ADVANTAGE - The **method** yields substantially pure protein from a single purification step. The specific reaction between modified bis-arsenical molecule and target sequence is reversible and the complex containing the modified bis-arsenical molecule and target sequence can be

dissociated. Protein purification using the immobilized FlAsH compound can be adapted for use in many different types of chromatography.

Dwg.0/1

ACCESSION NUMBER: 2001-602285 [68] WPIDS
DOC. NO. CPI: C2001-178345
TITLE: Isolating polypeptide of interest from cell lysate or
crude polypeptide extract, by using a modified
Fluorescein arsenical helix binder compound
immobilized on a solid support.
DERWENT CLASS: A89 B04 D16 E12 E23
INVENTOR(S): COOKE, R; MATUSKA, M; NABER, N; THORN, K; VALE, R D
PATENT ASSIGNEE(S): (REGC) UNIV CALIFORNIA
COUNTRY COUNT: 22
PATENT INFORMATION:

PATENT NO	KIND	DATE	WEEK	LA	PG
WO 2001053325	A2	20010726	(200168)*	EN	52
RW: AT BE CH CY DE DK ES FI FR GB GR IE IT LU MC NL PT SE TR					
W: AU CA JP					
AU 2001031086	A	20010731	(200171)		

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
WO 2001053325	A2	WO 2001-US2214	20010122
AU 2001031086	A	AU 2001-31086	20010122

FILING DETAILS:

PATENT NO	KIND	PATENT NO
AU 2001031086	A Based on	WO 200153325

PRIORITY APPLN. INFO: US 2000-502664 20000211; US 2000-178054P
20000124

L4 ANSWER 2 OF 153 USPATFULL
TI Benzyl compounds which inhibit leukocyte adhesion mediated by VLA-4
AB Disclosed are compounds which bind VLA-4. Certain of these compounds
also inhibit leukocyte adhesion and, in particular, leukocyte adhesion
mediated by VLA-4. Such compounds are useful in the treatment of
inflammatory diseases in a mammalian patient, e.g., human, wherein the
disease may be, for example, asthma, Alzheimer's disease,
atherosclerosis AIDS dementia, diabetes, inflammatory bowel disease,
rheumatoid arthritis, tissue transplantation, tumor metastasis and
myocardial ischemia. The compounds can also be administered for the
treatment of inflammatory brain diseases such as multiple sclerosis.

ACCESSION NUMBER: 2003:93832 USPATFULL
TITLE: Benzyl compounds which inhibit leukocyte adhesion
mediated by VLA-4
INVENTOR(S): Thorsett, Eugene D., Moss Beach, CA, UNITED STATES
Semko, Christopher M., Fremont, CA, UNITED STATES
Pleiss, Michael A., Sunnyvale, CA, UNITED STATES
Lombardo, Louis John, Belle Mead, NJ, UNITED STATES
Konradi, Andrei W., San Francisco, CA, UNITED STATES
Grant, Francine S., San Francisco, CA, UNITED STATES
Dressen, Darren B., San Mateo, CA, UNITED STATES
Dappen, Michael S., Redwood City, CA, UNITED STATES

NUMBER	KIND	DATE

PATENT INFORMATION: US 2003065193 A1 20030403
APPLICATION INFO.: US 2002-43275 A1 20020114 (10)
RELATED APPLN. INFO.: Continuation of Ser. No. US 1998-127601, filed on 31
Jul 1998, GRANTED, Pat. No. US 6362341

	NUMBER	DATE
PRIORITY INFORMATION:	US 1997-112007P	19970731 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	Gerald F. Swiss, BURNS, DOANE, SWECKER & MATHIS, L.L.P., P.O. Box 1404, Alexandria, VA, 22313-1404	
NUMBER OF CLAIMS:	14	
EXEMPLARY CLAIM:	1	
LINE COUNT:	4678	

L4 ANSWER 3 OF 153 USPATFULL
TI Dipeptide and related compounds which inhibit leukocyte adhesion
mediated by VLA-4
AB Disclosed are compounds which bind VLA-4. Certain of these compounds
also inhibit leukocyte adhesion and, in particular, leukocyte adhesion
mediated by VLA4. Such compounds are useful in the treatment of
inflammatory diseases in a mammalian patient, e.g., human, such as
asthma, Alzheimer's disease, atherosclerosis, AIDS dementia, diabetes,
inflammatory bowel disease, rheumatoid arthritis, tissue
transplantation, tumor metastasis and myocardial ischemia. The compounds
can also be administered for the treatment of inflammatory brain
diseases such as multiple sclerosis.

ACCESSION NUMBER: 2003:93824 USPATFULL
TITLE: Dipeptide and related compounds which inhibit leukocyte
adhesion mediated by VLA-4
INVENTOR(S): Thorsett, Eugene D., Moss Beach, CA, UNITED STATES
Semko, Christopher M., Fremont, CA, UNITED STATES
Pleiss, Michael A., Sunnyvale, CA, UNITED STATES
Lombardo, Louis John, Belle Mead, NJ, UNITED STATES
Grant, Francine S., San Francisco, CA, UNITED STATES
Dressen, Darren B., San Mateo, CA, UNITED STATES
Dappen, Michael S., Redwood City, CA, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003065185	A1	20030403
APPLICATION INFO.:	US 2002-119238	A1	20020408 (10)
RELATED APPLN. INFO.:	Division of Ser. No. US 1998-126329, filed on 31 Jul 1998, PENDING		

	NUMBER	DATE
PRIORITY INFORMATION:	US 1997-100429P	19970731 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	BURNS, DOANE, SWECKER & MATHIS, L.L.P., P.O. Box 1404, Alexandria, VA, 22313-1404	
NUMBER OF CLAIMS:	16	
EXEMPLARY CLAIM:	1	
LINE COUNT:	4266	

L4 ANSWER 4 OF 153 USPATFULL
TI Peptidyl prodrugs and linkers and stabilizers useful therefor
AB The present invention provides analogues of duocarmycins that are potent
cytotoxins. Also provided are peptidyl and disulfide linkers that are
cleaved in vivo. The linkers are of use in forming prodrugs and
conjugates of the cytotoxins of the invention as well as other

diagnostic and therapeutic moieties. The invention provides prodrugs and conjugates of the duocarmycin analogues with the linker arms of the invention.

ACCESSION NUMBER: 2003:93623 USPATFULL
TITLE: Peptidyl prodrugs and linkers and stabilizers useful therefor
INVENTOR(S): Ng, Howard P., El Sobrante, CA, UNITED STATES
McGee, Danny P. C., Vista, CA, UNITED STATES
Wu, Guoxian, Foster City, CA, UNITED STATES
Moore, Jimmie, Redwood City, CA, UNITED STATES
Li, Zhihong, Burlingame, CA, UNITED STATES
Gangwar, Sanjeev, Alameda, CA, UNITED STATES
Saunders, Oliver L., Burlingame, CA, UNITED STATES
Astafieva, Irina, Palo Alto, CA, UNITED STATES
PATENT ASSIGNEE(S): MEDAREX, INC., Milpitas, CA (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003064984	A1	20030403
APPLICATION INFO.:	US 2002-161234	A1	20020531 (10)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2001-295196P	20010531 (60)
	US 2001-295259P	20010531 (60)
	US 2001-295342P	20010531 (60)
	US 2001-304908P	20010711 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: TOWNSEND AND TOWNSEND AND CREW, LLP, TWO EMBARCADERO CENTER, EIGHTH FLOOR, SAN FRANCISCO, CA, 94111-3834
NUMBER OF CLAIMS: 33
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 28 Drawing Page(s)
LINE COUNT: 3187

L4 ANSWER 5 OF 153 USPATFULL
TI Compositions and methods relating to lung specific genes and proteins
AB The present invention relates to newly identified nucleic acids and polypeptides present in normal and neoplastic lung cells, including fragments, variants and derivatives of the nucleic acids and polypeptides. The present invention also relates to antibodies to the polypeptides of the invention, as well as agonists and antagonists of the polypeptides of the invention. The invention also relates to compositions comprising the nucleic acids, polypeptides, antibodies, variants, derivatives, agonists and antagonists of the invention and methods for the use of these compositions. These uses include identifying, diagnosing, monitoring, staging, imaging and treating lung cancer and non-cancerous disease states in lung, identifying lung tissue, monitoring and identifying and/or designing agonists and antagonists of polypeptides of the invention. The uses also include gene therapy, production of transgenic animals and cells, and production of engineered lung tissue for treatment and research.

ACCESSION NUMBER: 2003:93019 USPATFULL
TITLE: Compositions and methods relating to lung specific genes and proteins
INVENTOR(S): Recipon, Herve E., San Francisco, CA, UNITED STATES
Sun, Yongming, Redwood City, CA, UNITED STATES
Chen, Sei-Yu, Foster City, CA, UNITED STATES
Liu, Chenghua, San Jose, CA, UNITED STATES
Turner, Leah R., Sunnyvale, CA, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003064378	A1	20030403
APPLICATION INFO.:	US 2001-16349	A1	20011026 (10)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2000-243459P	20001026 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	Licata & Tyrrell P.C., 66 East Main Street, Marlton, NJ, 08053	
NUMBER OF CLAIMS:	17	
EXEMPLARY CLAIM:	1	
LINE COUNT:	9148	

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(FILE 'HOME' ENTERED AT 17:58:16 ON 09 APR 2003)

FILE 'MEDLINE, BIOSIS, DGENE, WPIDS, USPATFULL' ENTERED AT 17:58:34 ON 09 APR 2003

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L1      75078 S ACYLAT?
L2      43668 S L1 AND METHOD
L3      1284 S L2 AND BETA ALANINE
L4      153 S L3 AND FLUORESCIN
L5      0 S L4 AND NHSFUNCTIONALIZED STATIONARY PHASE
L6      274 S NHS FUNCTIONALIZED STATIONARY PHASE OR N-HYDROXYSUCCINAMIDE
L7      1 S L6 AND L4

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=> d l1 ti abs ibib 1-6

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L1  ANSWER 1 OF 75078      MEDLINE
TI  Biochemical characterization of the vacuolar palmitoyl acyltransferase.
AB  Vacuole fusion requires Sec18p-dependent acylation of the
    armadillo-repeat protein Vac8p that has been isolated with cis-SNARE
    complexes. To gain more insight into the mechanism of acylation,
    we analyzed the palmitoylation reaction on isolated vacuoles or in
    vacuolar detergent extracts. Recombinant Vac8p is palmitoylated when added
    to vacuoles and is anchored to membranes after modification. The palmitoyl
    acyltransferase (PAT) extracted from vacuolar membranes is functional in
    detergent extracts and shows all characteristics of an enzymatic activity:
    It modifies exogenous Vac8p in a temperature-, dose- and time-dependent
    manner, and is sensitive to bromo-palmitate, a known inhibitor of protein
    palmitoylation in vivo. Importantly, PAT is specific for palmitoyl-CoA,
    since myristoyl- and stearyl-CoA can compete with labeled Pal-CoA only at
    10-fold higher amounts.
ACCESSION NUMBER: 2003164431      IN-PROCESS
DOCUMENT NUMBER: 22568387      PubMed ID: 12681491
TITLE: Biochemical characterization of the vacuolar palmitoyl
        acyltransferase.
AUTHOR: Veit Michael; Dietrich Lars E P; Ungermann Christian
CORPORATE SOURCE: Department of Immunology and Molecular Biology, Vet.-Med.
                  Faculty of the Free University Berlin, Philippstrasse 13,
                  10115, Berlin, Germany.
SOURCE: FEBS LETTERS, (2003 Apr 10) 540 (1-3) 101-5.
        Journal code: 0155157. ISSN: 0014-5793.
PUB. COUNTRY: Netherlands
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
LANGUAGE: English
FILE SEGMENT: IN-PROCESS; NONINDEXED; Priority Journals
ENTRY DATE: Entered STN: 20030409
            Last Updated on STN: 20030409

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L1 ANSWER 2 OF 75078 MEDLINE

TI Plasma **Acylation**-Stimulating Protein, Adiponectin, Leptin, and Ghrelin before and after Weight Loss Induced by Gastric Bypass Surgery in Morbidly Obese Subjects.

AB We examined fasting plasma insulin, **acylation**-stimulating protein (ASP), leptin, adiponectin, ghrelin, and metabolic/cardiovascular risk profile before and 15 +/- 6 months after isolated Roux-en-Y gastric bypass surgery in 50 morbidly obese subjects. Average preoperative plasma lipids were mostly normal, whereas ASP, insulin, and leptin were elevated, and adiponectin and ghrelin were decreased. Postoperatively, body weight decreased significantly (-36.4 +/- 9.6%) and was best predicted by preoperative adiponectin concentration in weight-stable subjects ($r = -0.59$; $P = 0.02$). Plasma lipids and insulin resistance improved, leptin and ASP decreased (-76.3 +/- 14.6% and -35.9 +/- 52.2%; $P < 0.001$), and adiponectin increased (50.1 +/- 47.0%; $P < 0.001$). The decrease in apolipoprotein B was best predicted by the decrease in ASP ($r = 0.55$; $P = 0.009$), whereas the improved postoperative insulin sensitivity was best predicted by the increase in adiponectin ($r = 0.70$; $P = 0.01$). Despite bypassing 95% of the stomach and isolating the fundus from contact with ingested nutrients, circulating ghrelin did not decrease after surgery. In fact, plasma ghrelin increased postoperatively in the subset of subjects undergoing active weight loss (+60.5 +/- 23.2%; $P < 0.001$); ghrelin, however, remained unchanged in weight-stable subjects. In summary, 1) preoperative adiponectin concentrations may be predictive of the extent of weight loss; 2) changes in ASP and adiponectin are predictive of decreased apolipoprotein B and improved insulin action, respectively; and 3) plasma ghrelin increases after gastric bypass surgery in patients experiencing active weight loss.

ACCESSION NUMBER: 2003162312 IN-PROCESS

DOCUMENT NUMBER: 22566146 PubMed ID: 12679444

TITLE: Plasma **Acylation**-Stimulating Protein, Adiponectin, Leptin, and Ghrelin before and after Weight Loss Induced by Gastric Bypass Surgery in Morbidly Obese Subjects.

AUTHOR: Faraj May; Havel Peter J; Phelis Steve; Blank David; Sniderman Allan D; Cianflone Katherine

CORPORATE SOURCE: Mike Rosenbloom Laboratory for Cardiovascular Research, McGill University (M.F., S.P., A.D.S., K.C.), and Division of Clinical Biochemistry, Royal Victoria Hospital (D.B.), Montreal, Quebec, Canada.

SOURCE: JOURNAL OF CLINICAL ENDOCRINOLOGY AND METABOLISM, (2003 Apr) 88 (4) 1594-602.
Journal code: 0375362. ISSN: 0021-972X.

PUB. COUNTRY: United States

DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)

LANGUAGE: English

FILE SEGMENT: IN-PROCESS; NONINDEXED; Abridged Index Medicus Journals; Priority Journals

ENTRY DATE: Entered STN: 20030408
Last Updated on STN: 20030408

L1 ANSWER 3 OF 75078 MEDLINE

TI Sinorhizobium meliloti acpXL Mutant Lacks the C28 Hydroxylated Fatty Acid Moiety of Lipid A and Does Not Express a Slow Migrating Form of Lipopolysaccharide.

AB Lipid A is the hydrophobic anchor of lipopolysaccharide (LPS) in the outer membrane of Gram-negative bacteria. Lipid A of all Rhizobiaceae is **acylated** with a long fatty acid chain, 27-hydroxyoctacosanoic acid. Biosynthesis of this long acyl substitution requires a special acyl carrier protein, AcpXL, which serves as a donor of C28 (omega-1)-hydroxylated fatty acid for **acylation** of rhizobial lipid A (Brozek, K.A., Carlson, R.W., and Raetz, C. R. (1996) J. Biol. Chem. 271, 32126-32136). To determine the biological function of the C28

acylation of lipid A, we constructed an acpXL mutant of *Sinorhizobium meliloti* strain 1021. Gas-liquid chromatography and mass spectrometry analysis of the fatty acid composition showed that the acpXL mutation indeed blocked C28 acylation of lipid A. SDS-PAGE analysis of acpXL mutant LPS revealed only a fast migrating band, rough LPS, whereas the parental strain 1021 manifested both rough and smooth LPS. Regardless of this, the LPS of parental and mutant strains had a similar sugar composition and exposed the same antigenic epitopes, implying that different electrophoretic profiles might account for different aggregation properties of LPS molecules with and without a long acyl chain. The acpXL mutant of strain 1021 displayed sensitivity to deoxycholate, delayed nodulation of *Medicago sativa*, and a reduced competitive ability. However, nodules elicited by this mutant on roots of *M. sativa* and *Medicago truncatula* had a normal morphology and fixed nitrogen. Thus, the C28 fatty acid moiety of lipid A is not crucial, but it is beneficial for establishing an effective symbiosis with host plants. acpXL lies upstream from a cluster of five genes, including msbB (lpxXL), which might be also involved in biosynthesis and transfer of the C28 fatty acid to the lipid A precursor.

ACCESSION NUMBER: 2003162241 IN-PROCESS
DOCUMENT NUMBER: 22566053 PubMed ID: 12566460
TITLE: *Sinorhizobium meliloti* acpXL Mutant Lacks the C28 Hydroxylated Fatty Acid Moiety of Lipid A and Does Not Express a Slow Migrating Form of Lipopolysaccharide.
AUTHOR: Sharypova Larissa A; Niehaus Karsten; Scheidle Heiko; Holst Otto; Becker Anke
CORPORATE SOURCE: Institute of Genetics, Biology VI, University of Bielefeld, Postfach 100131, Bielefeld D-33501, Germany and the Division of Structural Biochemistry, Research Center Borstel, Center for Medicine and Biosciences, Borstel D-23845, Germany.
SOURCE: JOURNAL OF BIOLOGICAL CHEMISTRY, (2003 Apr 11) 278 (15) 12946-54.
Journal code: 2985121R. ISSN: 0021-9258.
PUB. COUNTRY: United States
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
LANGUAGE: English
FILE SEGMENT: IN-PROCESS; NONINDEXED; Priority Journals
ENTRY DATE: Entered STN: 20030408
Last Updated on STN: 20030408

L1 ANSWER 4 OF 75078 MEDLINE
TI Palmitoylated Peptides from the Cysteine-rich Domain of SNAP-23 Cause Membrane Fusion Depending on Peptide Length, Position of Cysteines, and Extent of Palmitoylation.
AB Synaptosome-associated proteins SNAP-23/25, members of a family of proteins essential for exocytosis, have a highly conserved central cysteine-rich domain that plays an important role in membrane targeting. More than one cysteine in this domain is modified by palmitic acid through a thioester linkage. In an effort to address the biological significance of acylation of this domain, we have generated synthetic peptides corresponding to the cysteine-rich region of SNAP-23 and covalently modified the cysteines with palmitic acid. The interaction of acylated and nonacylated peptides with lipid vesicles and natural membranes has been investigated. Our results indicate that palmitoylation is essential for membrane association. The palmitoylated peptides were able to fuse both model and natural membranes. The extent of fusion depended on the length of the peptides and the number and positions of covalently linked palmitic acids. Peptide-mediated fusion was suppressed by lysolipid and involved both outer and inner leaflets of the lipid bilayer, which is characteristic of natural membrane fusion. Our results suggest an important role for the cysteine-rich palmitoylated domain of SNAP-23 in promoting membrane fusion in cells.

ACCESSION NUMBER: 2003162192 IN-PROCESS

DOCUMENT NUMBER: 22565984 PubMed ID: 12551899
TITLE: Palmitoylated Peptides from the Cysteine-rich Domain of
SNAP-23 Cause Membrane Fusion Depending on Peptide Length,
Position of Cysteines, and Extent of Palmitoylation.
AUTHOR: Pallavi Bhattaram; Nagaraj Ramakrishnan
CORPORATE SOURCE: Centre for Cellular and Molecular Biology, Uppal Road,
Hyderabad, 500 007 India.
SOURCE: JOURNAL OF BIOLOGICAL CHEMISTRY, (2003 Apr 11) 278 (15)
12737-44.
Journal code: 2985121R. ISSN: 0021-9258.
PUB. COUNTRY: United States
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
LANGUAGE: English
FILE SEGMENT: IN-PROCESS; NONINDEXED; Priority Journals
ENTRY DATE: Entered STN: 20030408
Last Updated on STN: 20030408

L1 ANSWER 5 OF 75078 MEDLINE
TI Tetraacyldiborates: selective and efficient **acylation** reagents
suitable for multiple parallel synthetic applications.
AB Boron-based mixed anhydrides are rapidly reactive, easy to prepare, cheap,
efficient, and general **acylating** reagents capable of selectivity
when chelation is possible. High yields of various esters, amides and
thioesters are quickly obtainable and the products are easy to isolate in
high purity. The method is readily used under multiple parallel synthesis
conditions and is readily scaleable.
ACCESSION NUMBER: 2003161859 IN-PROCESS
DOCUMENT NUMBER: 22565561 PubMed ID: 12678709
TITLE: Tetraacyldiborates: selective and efficient
acylation reagents suitable for multiple parallel
synthetic applications.
AUTHOR: Gentry Elmer J; Telikepalli Hanumaiah; Srinivas Pusuluri;
Mitscher Lester A
CORPORATE SOURCE: Department of Medicinal Chemistry, Kansas University,
Lawrence, KS 66045-2506, USA.. lmitscher@ku.edu
SOURCE: COMBINATORIAL CHEMISTRY & HIGH THROUGHPUT SCREENING, (2003
Mar) 6 (2) 139-45.
Journal code: 9810948. ISSN: 1386-2073.
PUB. COUNTRY: Netherlands
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
LANGUAGE: English
FILE SEGMENT: IN-PROCESS; NONINDEXED; Priority Journals
ENTRY DATE: Entered STN: 20030408
Last Updated on STN: 20030408

L1 ANSWER 6 OF 75078 MEDLINE
TI Two new biologically active triterpenoidal saponins **acylated**
with salicylic acid from Albizia adianthifolia.
AB Two new oleanane-type triterpene saponins, adianthifoliosides A (1) and B
(2), were isolated from a 95% ethanolic extract of roots of Albizia
adianthifolia. Their structures were elucidated mainly by using a
combination of 600 MHz 1D and 2D NMR techniques (COSY, NOESY, TOCSY, HSQC,
and HMBC) and by FABMS and HRESIMS. Compounds 1 and 2 were characterized
as glycosides of acacic acid **acylated** by an o-hydroxybenzoyl
unit. The crude saponin mixture (CSM), compounds 1 and 2 together with 3
and 4 (prosapogenins obtained from the mild alkaline hydrolysate of the
CSM), were evaluated for immunomodulatory activity on the Jurkat T cell
line and for hemolytic property against sheep erythrocytes. Compound 2
and, to a lesser extent, 1 and 3 were found to exhibit a dose-dependent
immunomodulatory effect in the concentration range 10⁻²-10 microm,
whereas 4 showed a lymphoproliferative activity in the same concentration
range. Among the compounds tested, only 1 and 2 were found to be
hemolytic.
ACCESSION NUMBER: 2003160704 IN-PROCESS

DOCUMENT NUMBER: 22549885 PubMed ID: 12662095
 TITLE: Two new biologically active triterpenoidal saponins
acylated with salicylic acid from Albizia
 adianthifolia.
 AUTHOR: Haddad Mohamed; Miyamoto Tomofumi; Laurens Veronique;
 Lacaille-Dubois Marie-Aleth
 CORPORATE SOURCE: Laboratoire de Pharmacognosie, Unite MIB JE 2244, Faculte
 de Pharmacie, Universite de Bourgogne, 7, Bd. Jeanne d'Arc,
 BP 87900, 21079 Dijon Cedex, France.
 SOURCE: JOURNAL OF NATURAL PRODUCTS, (2003 Mar) 66 (3) 372-7.
 Journal code: 7906882. ISSN: 0163-3864.
 PUB. COUNTRY: United States
 DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
 LANGUAGE: English
 FILE SEGMENT: IN-PROCESS; NONINDEXED; Priority Journals
 ENTRY DATE: Entered STN: 20030408
 Last Updated on STN: 20030408

=> s l1 and acetic acid

3 FILES SEARCHED...

L8 26432 L1 AND ACETIC ACID

=> d l8 ti abs ibib 1-6

L8 ANSWER 1 OF 26432 MEDLINE

TI **Acylation** of hydrazides with **acetic acid** and
 formic acid.

AB In peptide synthesis, hydrazides are important intermediates for the azide
 coupling method. A hydrazide is converted to the corresponding azide in
 the presence of an acid and a nitrite. When **acetic acid**
 (or formic acid) is used as the acid, partial acetylation (or formylation)
 of the hydrazide occurs as a side reaction. Formylation of the hydrazide
 is much faster than acetylation. Removal of the formyl group on the
 hydrazide with hydrazine and hydroxylamine was studied. The rate of
 deformylation with hydrazine treatment is faster than that with
 hydroxylamine treatment.

ACCESSION NUMBER: 2002095397 MEDLINE

DOCUMENT NUMBER: 21682210 PubMed ID: 11824577

TITLE: **Acylation** of hydrazides with **acetic**
acid and formic acid.

AUTHOR: Hojo Keiko; Maeda Mitsuko; Smith Timothy J; Kawasaki Koichi

CORPORATE SOURCE: Faculty of Pharmaceutical Sciences and High Technology
 Research Center, Kobe Gakuin University, Japan.

SOURCE: CHEMICAL AND PHARMACEUTICAL BULLETIN, (2002 Jan) 50 (1)
 140-2.

Journal code: 0377775. ISSN: 0009-2363.

PUB. COUNTRY: Japan

DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)

LANGUAGE: English

FILE SEGMENT: Priority Journals

ENTRY MONTH: 200207

ENTRY DATE: Entered STN: 20020205

Last Updated on STN: 20020727

Entered Medline: 20020726

L8 ANSWER 2 OF 26432 MEDLINE

TI New analogues of bradykinin containing a conformationally restricted
 dipeptide fragment in their molecules.

AB The present paper describes the synthesis and some pharmacological
 properties of two new bradykinin analogues containing the ethylene-bridged
 dipeptide Phe-Phe in their molecules. In a further two peptides this
 modification was combined with **acylation** of the N-terminus with
 1-adamantaneacetic acid. Finally, we synthesized four analogues by

removing the Ser6 residue from the four peptides mentioned above. The activity of the new analogues was assayed on isolated rat uterus (RUT) and in rat blood pressure tests (BPT). The results clearly indicate that the proposed modification, alone or in combination with other changes, resulted in either a drop in antiuterotonic activity or even in conversion to an agonism. Although this tendency is not so distinct in blood pressure assays, the antagonistic potency of the new analogues is also diminished. Nevertheless, it was demonstrated that the D-amino acid in position 7 which, until recently, was considered necessary for antagonism, may be replaced, together with the amino acid occupying position 8, by a suitable, sterically restricted L,L-dipeptide unit.

ACCESSION NUMBER: 2001202165 MEDLINE
DOCUMENT NUMBER: 21070610 PubMed ID: 11168884
TITLE: New analogues of bradykinin containing a conformationally restricted dipeptide fragment in their molecules.
AUTHOR: Derdowska I; Prahl A; Neubert K; Hartrodt B; Kania A; Dobrowolski D; Melhem S; Trzeciak H I; Wierzba T; Lammek B
CORPORATE SOURCE: Faculty of Chemistry, University of Gdansk, Poland.
SOURCE: JOURNAL OF PEPTIDE RESEARCH, (2001 Jan) 57 (1) 11-8.
Journal code: 9707067. ISSN: 1397-002X.
PUB. COUNTRY: Denmark
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
LANGUAGE: English
FILE SEGMENT: Priority Journals
ENTRY MONTH: 200104
ENTRY DATE: Entered STN: 20010417
Last Updated on STN: 20010417
Entered Medline: 20010412

L8 ANSWER 3 OF 26432 MEDLINE

TI A rapid procedure to prepare cefotaxime.

AB A rapid procedure is reported for the synthesis of cefotaxime, by **acylation** of the 7-amino cephalosporanic acid with the 2-mercaptobenzothiazolyl thioester of (Z)-2-[2-aminothiazol-4-yl]-2-methoxyimino **acetic acid** (MAEM) that is a commercial reagent. The reaction was carried out at room temperature for 1 h, obtaining 95% yield. 2-Mercaptobenzothiazole was recovered as a side-product with a high purity and yield. The proposed method differentiates from those reported previously for a shorter time and very mild reaction condition, as well as for a ready for use reagent.

ACCESSION NUMBER: 2001122291 MEDLINE
DOCUMENT NUMBER: 20439018 PubMed ID: 10983286
TITLE: A rapid procedure to prepare cefotaxime.
AUTHOR: Rodriguez J C; Hernandez R; Gonzalez M; Lopez M A; Fini A
CORPORATE SOURCE: Departamento de Sintesis Quimica, Centro de Quimica Farmaceutica, Ciudad de La Habana, Cuba.
SOURCE: FARMACO, (2000 May) 55 (5) 393-6.
Journal code: 8912641. ISSN: 0014-827X.
PUB. COUNTRY: Italy
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
LANGUAGE: English
FILE SEGMENT: Priority Journals
ENTRY MONTH: 200102
ENTRY DATE: Entered STN: 20010322
Last Updated on STN: 20010322
Entered Medline: 20010222

L8 ANSWER 4 OF 26432 MEDLINE

TI Convenient preparation of O-linked polymer-bound N-substituted hydroxylamines, intermediates for synthesis of N-substituted hydroxamic acids.

AB [reaction: see text] An efficient procedure for preparation of O-linked polymer-bound N-substituted hydroxylamines by reduction of resin-bound oximes with borane.pyridine complex in the presence of dichloroacetic acid

is reported. Other reducing systems commonly used for imine or oxime reduction were ineffective, including borane.pyridine in the presence of **acetic acid**. Oximes derived from a variety of aromatic and aliphatic aldehydes and ketones were successfully reduced. The N-substituted products were **acylated** and cleaved from resin to afford N-substituted hydroxamic acids.

ACCESSION NUMBER: 2001012289 MEDLINE
DOCUMENT NUMBER: 20423272 PubMed ID: 10964363
TITLE: Convenient preparation of O-linked polymer-bound N-substituted hydroxylamines, intermediates for synthesis of N-substituted hydroxamic acids.
AUTHOR: Robinson D E; Holladay M W
CORPORATE SOURCE: SIDDCO, Inc., 9040 S. Rita Road, Suite 2338, Tucson, Arizona 85747, USA.
SOURCE: ORGANIC LETTERS, (2000 Sep 7) 2 (18) 2777-9.
Journal code: 100890393. ISSN: 1523-7060.
PUB. COUNTRY: United States
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
LANGUAGE: English
ENTRY MONTH: 200010
ENTRY DATE: Entered STN: 20010322
Last Updated on STN: 20010322
Entered Medline: 20001027

L8 ANSWER 5 OF 26432 MEDLINE

TI Synthesis of novel adamantylacetyl derivative of peptidoglycan monomer--biological evaluation of immunomodulatory peptidoglycan monomer and respective derivatives with lipophilic substituents on amino group.
AB Novel synthetic analogue of immunomodulatory peptidoglycan monomer 1 (PGM), (adamant-1-yl)-CH₂CO-PGM (2), was prepared by **acylation** of epsilon-amino group of diaminopimelic acid with symmetrical (adamant-1-yl)-**acetic acid** anhydride in the presence of triethylamine. The product was isolated by gel filtration on Sephadex G-25, followed by ion exchange chromatography on SP-Sephadex C-25. The susceptibility of (adamant-1-yl)-CH₂CO-PGM to hydrolysis with N-acetylmuramyl-L-alanine amidase was demonstrated, and the product of hydrolysis, (adamant-1-yl) CH₂CO-pentapeptide 3, was characterized. Both 2 and 3 are water soluble and non-pyrogenic compounds. Immunomodulatory activity of PGM (adamant-1-yl)-CH₂CO-PGM and structurally related derivative Boc-Tyr-PGM was compared in experiments in vivo, in mice, using ovalbumin (OVA) as an antigen. All three tested compounds exhibited comparable immunostimulating effects with respect to the induction of anti-ovalbumin immunoglobulin G. The results of evaluation of biological activity show that the substitution of free amino group in the parent peptidoglycan molecule with bulky lipophilic substituents did not affect the susceptibility to hydrolysis with N-acetylmuramyl-L-alanine amidase and did not alter markedly the immunostimulating activity. The results also indicate that the free amino group in the peptide chain is not a necessary requirement in the mechanism of immunostimulation of tested immunomodulators.

ACCESSION NUMBER: 2000506376 MEDLINE
DOCUMENT NUMBER: 20509721 PubMed ID: 11058039
TITLE: Synthesis of novel adamantylacetyl derivative of peptidoglycan monomer--biological evaluation of immunomodulatory peptidoglycan monomer and respective derivatives with lipophilic substituents on amino group.
AUTHOR: Ljevakovic D; Tomasic J; Sporec V; Spoljar B H; Hanzl-Dujmovic I
CORPORATE SOURCE: Institute of Immunology, Inc., Zagreb, Croatia.
SOURCE: BIOORGANIC AND MEDICINAL CHEMISTRY, (2000 Oct) 8 (10) 2441-9.
Journal code: 9413298. ISSN: 0968-0896.
PUB. COUNTRY: ENGLAND: United Kingdom
DOCUMENT TYPE: (EVALUATION STUDIES)

Journal; Article; (JOURNAL ARTICLE)
LANGUAGE: English
FILE SEGMENT: Priority Journals
ENTRY MONTH: 200102
ENTRY DATE: Entered STN: 20010322
Last Updated on STN: 20010322
Entered Medline: 20010222

L8 ANSWER 6 OF 26432 MEDLINE

TI Interactions between grape anthocyanins and pyruvic acid, with effect of pH and acid concentration on anthocyanin composition and color in model solutions.

AB The formation of vitisin A, an anthocyanin formed naturally in small quantities in maturing port wines, was studied in model wine solutions at a range of pH values (2.0-4.5) and pyruvate concentrations [molar ratios of pyruvic acid to total anthocyanins (PA/TA) ranging from 12.20 to 172.40]. Additionally, the effect of vitisin A formation on the color changes of these model wines was evaluated. Vitisin A was formed through the interaction between malvidin 3-glucoside and pyruvic acid, and vitisin A in **acylated** forms, having the 6-position of the sugar **acylated** with **acetic acid** (3-acetylvitisin A) and p-coumaric acid (3-p-coumarylvitisin A), formed through the interaction between pyruvic acid and malvidin 3-acetylglucoside and malvidin 3-p-coumarylglucoside, respectively; their identities were confirmed by spectral analysis and FABMS. The maximum formation of these new anthocyanin derivatives was at pH 2.7-3.0, at the higher pyruvic acid concentration (PA/TA of 172.40 units). The vitisins A caused changes in the color of the solution and expressed about 11 times (pH 3) to 14 times (pH 2) more color than the normal anthocyanins. On aging, the model solutions changed from a bluish red, attributable to the main anthocyanins present, to a slightly more orange red, attributable to the vitisin compounds. The aged models containing vitisins A were all much redder than the more red-brown color of the models aged without pyruvic acid.

ACCESSION NUMBER: 2000428768 MEDLINE

DOCUMENT NUMBER: 20026520 PubMed ID: 10552620

TITLE: Interactions between grape anthocyanins and pyruvic acid, with effect of pH and acid concentration on anthocyanin composition and color in model solutions.

AUTHOR: Romero C; Bakker J

CORPORATE SOURCE: Institute of Food Research, Reading Laboratory, United Kingdom.

SOURCE: JOURNAL OF AGRICULTURAL AND FOOD CHEMISTRY, (1999 Aug) 47 (8) 3130-9.

Journal code: 0374755. ISSN: 0021-8561.

PUB. COUNTRY: United States

DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)

LANGUAGE: English

FILE SEGMENT: Priority Journals

ENTRY MONTH: 200009

ENTRY DATE: Entered STN: 20000922

Last Updated on STN: 20021218

Entered Medline: 20000912

=> d his

(FILE 'HOME' ENTERED AT 17:58:16 ON 09 APR 2003)

FILE 'MEDLINE, BIOSIS, DGENE, WPIDS, USPATFULL' ENTERED AT 17:58:34 ON 09 APR 2003

L1 75078 S ACYLAT?

L2 43668 S L1 AND METHOD

L3 1284 S L2 AND BETA ALANINE

L4 153 S L3 AND FLUORESCEIN

L5 0 S L4 AND NHSFUNCTIONALIZED STATIONARY PHASE
L6 274 S NHS FUNCTIONALIZED STATIONARY PHASE OR N-HYDROXSUCCINAMIDE
L7 1 S L6 AND L4
L8 26432 S L1 AND ACETIC ACID

=> s 11 and anhydride

L9 27610 L1 AND ANHYDRIDE

=> d 19 ti abs ibib 1-7

L9 ANSWER 1 OF 27610 MEDLINE

TI Catalytic Enantioselective Synthesis of Quaternary Stereocenters via Intermolecular C-Acylation of Silyl Ketene Acetals: Dual Activation of the Electrophile and the Nucleophile.

AB A nucleophile-catalyzed asymmetric intermolecular C-acylation of silyl ketene acetals by anhydrides has been developed, furnishing quaternary stereocenters with high enantioselectivity. Mechanistic studies support the hypothesis that the reaction involves activation both of the silyl ketene acetal (generation of an enolate) and of the anhydride (formation of an acylpyridinium ion).

ACCESSION NUMBER: 2003154745 IN-PROCESS

DOCUMENT NUMBER: 22557829 PubMed ID: 12670217

TITLE: Catalytic Enantioselective Synthesis of Quaternary Stereocenters via Intermolecular C-Acylation of Silyl Ketene Acetals: Dual Activation of the Electrophile and the Nucleophile.

AUTHOR: Mermerian Ara H; Fu Gregory C

CORPORATE SOURCE: Department of Chemistry, Massachusetts Institute of Technology, Cambridge, Massachusetts 02139.

SOURCE: JOURNAL OF THE AMERICAN CHEMICAL SOCIETY, (2003 Apr 9) 125 (14) 4050-1.

Journal code: 7503056. ISSN: 0002-7863.

PUB. COUNTRY: United States

DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)

LANGUAGE: English

FILE SEGMENT: IN-PROCESS; NONINDEXED; Priority Journals

ENTRY DATE: Entered STN: 20030403

Last Updated on STN: 20030403

L9 ANSWER 2 OF 27610 MEDLINE

TI Endosomolysis by Masking of a Membrane-Active Agent (EMMA) for Cytoplasmic Release of Macromolecules.

AB Endosomolysis, a critical barrier to efficient delivery of macromolecules such as nucleic acids, has been breached using a novel approach: endosomolysis by masking of a membrane-active agent (EMMA). To demonstrate the concept of EMMA, a cationic membrane-active peptide, melittin, was reversibly inhibited using a maleic anhydride derivative. At neutral pH, the lysines of melittin are covalently acylated with the anhydride, thereby inhibiting melittin's membrane disruption activity. Under acidic conditions such as those present within endosomes, the amide bond of the maleamate is cleaved, thus unmasking melittin. The active melittin can then disrupt the endosomal membrane resulting in release of biologically active molecules into the cytoplasm. This approach avoids cellular toxicity by restricting melittin's activity until it reaches the endosomal compartment. The utility of this approach was demonstrated by delivery phosphorodiamidate morpholino oligonucleotides (PMOs).

ACCESSION NUMBER: 2003020327 IN-PROCESS

DOCUMENT NUMBER: 22414708 PubMed ID: 12526692

TITLE: Endosomolysis by Masking of a Membrane-Active Agent (EMMA) for Cytoplasmic Release of Macromolecules.

AUTHOR: Rozema David B; Ekena Kirk; Lewis David L; Loomis Aaron G; Wolff Jon A

CORPORATE SOURCE: Mirus Corporation, 505 S. Rosa Road, Madison, Wisconsin

53711, and Waisman Center, Department of Pediatrics and Medical Genetics, Medical School, University of Wisconsin-Madison, Madison, Wisconsin 53705.
SOURCE: BIOCONJUGATE CHEMISTRY, (2003 Jan-Feb) 14 (1) 51-7.
Journal code: 9010319. ISSN: 1043-1802.
PUB. COUNTRY: United States
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
LANGUAGE: English
FILE SEGMENT: IN-PROCESS; NONINDEXED; Priority Journals
ENTRY DATE: Entered STN: 20030116
Last Updated on STN: 20030116

L9 ANSWER 3 OF 27610 MEDLINE

TI Intramolecular Amidofuran Cycloadditions across an Indole pi-Bond: An Efficient Approach to the Aspidosperma and Strychnos ABCE Core.
AB The intramolecular Diels-Alder reaction between an amidofuran moiety tethered onto an indole component was examined as a strategy for the synthesis of Aspidosperma and Strychnos alkaloids. Furanyl carbamate 13 was **acylated** using the mixed **anhydride** 16 to provide amidofuran 12 in 68% yield. Further N-acylation of this indole furnished 17 in 88% yield. Cyclization precursors were prepared by removing the carbamate moiety followed by N-alkylation with the appropriate alkyl halides. Thermolysis of 25 provided the novel tetracyclic ketone 26 in 74% yield.

ACCESSION NUMBER: 2002728723 IN-PROCESS
DOCUMENT NUMBER: 22379213 PubMed ID: 12489950
TITLE: Intramolecular Amidofuran Cycloadditions across an Indole pi-Bond: An Efficient Approach to the Aspidosperma and Strychnos ABCE Core.
AUTHOR: Lynch Stephen M; Bur Scott K; Padwa Albert
CORPORATE SOURCE: Department of Chemistry, Emory University, Atlanta, Georgia 30322.
SOURCE: ORGANIC LETTERS, (2002 Dec 26) 4 (26) 4643-5.
Journal code: 100890393. ISSN: 1523-7060.
PUB. COUNTRY: United States
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
LANGUAGE: English
FILE SEGMENT: IN-PROCESS; NONINDEXED; Priority Journals
ENTRY DATE: Entered STN: 20021220
Last Updated on STN: 20021220

L9 ANSWER 4 OF 27610 MEDLINE

TI The effects of acyl chain length on the micelle properties of poly(ethylene oxide)-block-poly(N-hexyl-L-aspartamide)-acyl conjugates.
AB Derivatives of poly(ethylene oxide)-block-poly(beta-benzyl-aspartate), 12:25 have been prepared via aminolysis of the benzyl protecting group with 6-amino-1-hexanol, followed by subsequent **acylation** with acetic **anhydride**, hexanoic acid, lauric acid, or stearic acid. A series of amphiphilic diblock copolymers based on poly(ethylene oxide)-block-poly(N-hexyl-aspartamide)acyl conjugates with various acyl chain lengths have been prepared. The extent of esterification was determined by 1H-NMR. Aqueous micelle solutions were prepared by a dialysis method and the polymer series was characterized as a function of the acyl chain length. Transmission electron microscopy and dynamic light scattering revealed micelle-like structures of nanoscopic dimensions (< 100 nm). Environmentally sensitive fluorescent probes were loaded into the micelles in order to study the properties of the hydrophobic microdomain and to determine the critical micelle concentration (CMC). Steady-state fluorescence measurements indicated that the relative apparent core viscosity and polarity are modulated by the relative length of the attached acyl chains, as is the CMC. Increasing the acyl chain length results in a decreased CMC and a more viscous and less polar core region. Carefully chosen chemical moieties can be introduced in order to influence the properties of the poly(L-Asp) blocks of the micelles. As a result, the

micellar properties can be altered via chemical modification in order to impact several key properties relevant to drug delivery.

ACCESSION NUMBER: 2002700795 IN-PROCESS
DOCUMENT NUMBER: 22348113 PubMed ID: 12462459
TITLE: The effects of acyl chain length on the micelle properties of poly(ethylene oxide)-block-poly(N-hexyl-L-aspartamide)-acyl conjugates.
AUTHOR: Adams Monica L; Kwon Glen S
CORPORATE SOURCE: Division of Pharmaceutical Sciences, School of Pharmacy, University of Wisconsin-Madison, 53705-2222, USA.
CONTRACT NUMBER: AI-43346-02 (NIAID)
SOURCE: JOURNAL OF BIOMATERIALS SCIENCE, POLYMER EDITION, (2002) 13 (9) 991-1006.
Journal code: 9007393. ISSN: 0920-5063.
PUB. COUNTRY: Netherlands
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
LANGUAGE: English
FILE SEGMENT: IN-PROCESS; NONINDEXED; Priority Journals
ENTRY DATE: Entered STN: 20021217
Last Updated on STN: 20021217

L9 ANSWER 5 OF 27610 MEDLINE

TI Lowering of pI by **acylation** improves the renal uptake of 99mTc-labeled anti-Tac dsFv: effect of different **acylating** reagents.

AB Anti-Tac disulfide-stabilized variable region fragment (dsFv) was labeled with 99mTc by a preformed chelate approach using 99mTc-MAG3-trifluorophenyl (TFP) ester. Simultaneously it was **acylated** with TFP-lactate or succinic **anhydride** to decrease the isoelectric point of dsFv (pI 10). **Acylation** of dsFv (0.04 mM) with the lactate at a 73 times molar excess reduced the pI to 5.0-6.7, whereas **acylation** with succinic **anhydride** at a 30 times molar excess reduced the pI to 4.9-8.7. Comparative biodistribution studies performed in mice (n = 5) showed the reduced renal accumulation of the 99mTc proportional to the pI reduction. The effect of the pI on the reduced renal uptake was especially pronounced at 15 min postinjection. The reduced renal uptake was also reflected in the reduced whole-body retention, indicating that lowering the pI inhibited the tubular reabsorption of the labeled dsFv.

ACCESSION NUMBER: 2002693431 IN-PROCESS
DOCUMENT NUMBER: 22342526 PubMed ID: 12453588
TITLE: Lowering of pI by **acylation** improves the renal uptake of 99mTc-labeled anti-Tac dsFv: effect of different **acylating** reagents.
AUTHOR: Kim Insook; Kobayashi Hisataka; Yoo Tae M; Kim Meyong kon; Le Nhat; Han Eui sik; Wang Q C; Pastan Ira; Carrasquillo Jorge A; Paik Chang H
CORPORATE SOURCE: Department of Nuclear Medicine, Warren G. Magnuson Clinical Center, National Institutes of Health, 20892-1180, Bethesda, MD, USA.
SOURCE: NUCLEAR MEDICINE AND BIOLOGY, (2002 Nov) 29 (8) 795-801.
Journal code: 9304420. ISSN: 0969-8051.
PUB. COUNTRY: England: United Kingdom
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
LANGUAGE: English
FILE SEGMENT: IN-PROCESS; NONINDEXED; Priority Journals
ENTRY DATE: Entered STN: 20021214
Last Updated on STN: 20021214

L9 ANSWER 6 OF 27610 MEDLINE

TI One step and highly sensitive headspace solid-phase microextraction sample preparation approach for the analysis of methamphetamine and amphetamine in human urine.

AB A fiber-stable, repeatable and highly sensitive headspace solid-phase

microextraction (HS-SPME) method was developed for the analysis of methamphetamine (MA) and amphetamine (AM) in urine using gas chromatography-mass spectrometry (GC-MS) in the selected ion monitoring mode. For sample preparation, the test specimen was placed in a 7 ml vial along with the additives (KOH and NaCl) and the internal standards (d8-MA and d8-AM), a glass insert containing heptafluorobutyric anhydride (HFBA) and heptafluorobutyric chloride (HFBCl) as derivatizing reagents was inserted into the vial, the vial was then sealed tightly. A SPME device with a 100 microm polydimethylsiloxane fiber was inserted into the vial and the fiber was exposed to the headspace in the insert, then the vial was heated and stirred at 100 degrees C and 600 rpm for 20 min for evaporation/adsorption/derivatization. The vaporized analytes (AM and MA) in the vial diffused into the glass insert through the holes on the insert, they absorbed onto the fiber, and then interacted with the vapor of the derivatizing reagent. Some of the analytes in the headspace of the glass insert may react with the vapor of the derivatizing reagent first, and then adsorb onto the fiber. The needle was finally removed and inserted into the injection port to desorb the analytes with the fiber exposed to the liner of the GC-MS system for analysis. By combining HFBCl and HFBA as derivatizing reagents and placing them in an insert, the HS-SPME method achieves high sensitivity for the analysis of AM and MA. Correlation coefficients derived from typical calibration curves in the 1.0-1700 ng ml⁻¹ range are 0.998 for MA and 0.994 for AM. The limits of detection and the limits of quantitation using a sample size of 1 ml are 0.3 and 1.0 ng ml⁻¹, respectively, for both MA and AM in urine specimens. Because the water hydrolysis of derivatizing reagent is much faster than the acylation reaction of the primary and secondary amines with the derivatizing reagent, the amphetamines cannot be acylated effectively over heated aqueous solution, and therefore this study provides a new acylation design in moisture surroundings. The proposed process also simplifies the procedure for urine sample preparation, and makes the automation of SPME possible.

ACCESSION NUMBER: 2002618622 MEDLINE
DOCUMENT NUMBER: 22262802 PubMed ID: 12375844
TITLE: One step and highly sensitive headspace solid-phase microextraction sample preparation approach for the analysis of methamphetamine and amphetamine in human urine.
AUTHOR: Huang Min-Kun; Liu Chiareiy; Huang Shang-Da
CORPORATE SOURCE: National Bureau of Controlled Drugs, DOH, Taiwan, ROC.
SOURCE: ANALYST, (2002 Sep) 127 (9) 1203-6.
Journal code: 0372652. ISSN: 0003-2654.
PUB. COUNTRY: England: United Kingdom
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
LANGUAGE: English
FILE SEGMENT: Priority Journals
ENTRY MONTH: 200211
ENTRY DATE: Entered STN: 20021012
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L9 ANSWER 7 OF 27610 MEDLINE
TI A practical procedure for the synthesis of esonarimod, (R,S)-2-acetylthiomethyl-4-(4-methylphenyl)-4-oxobutanoic acid, an antirheumatic agent (part 1).
AB An efficient and practical procedure for the synthesis of esonarimod, (R,S)-2-acetylthiomethyl-4-(4-methylphenyl)-4-oxobutanoic acid (1), a new antirheumatic drug, has been developed. The intermediate, 2-methylene-4-(4-methylphenyl)-4-oxobutanoic acid (2), was prepared by Friedel-Crafts acylation of toluene with itaconic anhydride (3) in the presence of aluminum trichloride and nitrobenzene in 63% yield without silica gel column purification. Compound 1 was prepared by Michael addition of 2 with thioacetic acid (4) in 74% yield. Overall, 1 was obtained in 47% yield from 3. The structures and synthetic mechanisms of by-products (five compounds) of 2 were also

clarified.

ACCESSION NUMBER: 2002615429 MEDLINE
DOCUMENT NUMBER: 22259627 PubMed ID: 12372877
TITLE: A practical procedure for the synthesis of esonarimod,
(R,S)-2-acetylthiomethyl-4-(4-methylphenyl)-4-oxobutanoic
acid, an antirheumatic agent (part 1).
AUTHOR: Noguchi Toshiya; Onodera Akira; Tomisawa Kazuyuki; Yokomori
Sadakazu
CORPORATE SOURCE: Medicinal Research Laboratories, Taisho Pharmaceutical Co.,
Ltd., Saitama, Japan.. t.noguchi@po.rd.taisho.co.jp
SOURCE: CHEMICAL AND PHARMACEUTICAL BULLETIN, (2002 Oct) 50 (10)
1407-12.
Journal code: 0377775. ISSN: 0009-2363.
PUB. COUNTRY: Japan
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
LANGUAGE: English
FILE SEGMENT: Priority Journals
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=> s 11 and amino acid

APR 2003

L1	75078	S	ACYLAT?
L2	43668	S	L1 AND METHOD
L3	1284	S	L2 AND BETA ALANINE
L4	153	S	L3 AND FLUORESCEIN
L5	0	S	L4 AND NHSFUNCTIONALIZED STATIONARY PHASE
L6	274	S	NHS FUNCTIONALIZED STATIONARY PHASE OR N-HYDROXYSUCCINAMIDE
L7	1	S	L6 AND L4
L8	26432	S	L1 AND ACETIC ACID
L9	27610	S	L1 AND ANHYDRIDE
L10	17290	S	L1 AND AMINO ACID
L11	762	S	L1 AND TAUTOMER
L12	1382	S	L1 AND BETA ALANINE
L13	36	S	L12 AND L11
L14	34	S	L13 AND L9
L15	30	S	L14 AND L8

APR 2003

L1 75078 S ACYLAT?
L2 43668 S L1 AND METHOD
L3 1284 S L2 AND BETA ALANINE
L4 153 S L3 AND FLUORESCEIN
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L6 274 S NHS FUNCTIONALIZED STATIONARY PHASE OR N-HYDROXYSUCCINAMIDE
L7 1 S L6 AND L4
L8 26432 S L1 AND ACETIC ACID
L9 27610 S L1 AND ANHYDRIDE
L10 17290 S L1 AND AMINO ACID
L11 762 S L1 AND TAUTOMER
L12 1382 S L1 AND BETA ALANINE
L13 36 S L12 AND L11
L14 34 S L13 AND L9
L15 30 S L14 AND L8